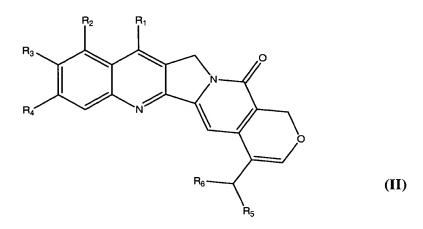
Serial No.: 09/903,101 Filed : July 11, 2001

Page 2

2. (Twice amended) A compound of Formula (II):



wherein:

 R_1 and R_2 is selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or alkoxy alkyl, or $(-CH_2NR_7R_8)$, wherein:

- i) R_7 and R_8 , which may be the same or different, are independently selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or lower alkoxy (C_1-C_8) alkyl; or
- ii) R_7 represents hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or lower alkoxy (C_1-C_8) alkyl, and R_8 represents $-COR_9$,

wherein:

 R_9 represents hydrogen, (C_1-C_8) alkyl, perhalo- (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, lower alkoxy, lower alkoxy (C_1-C_8) alkyl; or

iii) R_7 represents hydrogen or (C_1-C_8) alkyl; and R_8 represents diphenyl-methyl or $-(CH_2)_t$ Ar wherein:



Serial No.: 09/903,101 Filed : July 11, 2001

Page 3

t is 0 to 5 and Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

iv) R_7 and R_8 taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



wherein:

Y represents O, S, SO, SO₂, CH₂ or NR₁₀, wherein:

 R_{10} represents hydrogen, (C_1-C_8) alkyl, perhalo (C_1-C_8) alkyl, aryl, aryl substituted with one or more substituents selected from (C_1-C_8) alkyl, lower alkoxy, halogen, nitro, amino, (C_1-C_8) alkyl amino, perhalo- (C_1-C_8) alkyl, hydroxy (C_1-C_8) alkyl, lower alkoxy (C_1-C_8) alkyl groups or $-COR_{11}$,

wherein:

 R_{11} represents hydrogen, (C_1-C_8) alkyl, perhalo- (C_1-C_8) alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from (C_1-C_8) alkyl, perhalo- (C_1-C_8) alkyl, hydroxy (C_1-C_8) alkyl, lower alkoxy (C_1-C_8) alkyl groups;

 R_3 and R_4 are independently selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or alkoxy alkyl; or

 R_3 and R_4 taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)

B.

Serial No.: 09/903,101 Filed : July 11, 2001

Page 4

$$(H_2C)_n$$
 (IB)

wherein,

n represents the integer 1 or 2; or

R₃ represents -OCONR₁₂R₁₃,

wherein,

 R_{12} and R_{13} , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, or R_{12} and R_{13} together with the nitrogen atom to which they are bonded form a heterocyclic ring which may be interrupted with -O-, -S- and/or $-N-R_{14}$ in which R_{14} is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group;

R₅ represents hydrogen or alkyl; and

R₆ represents hydrogen or alkyl,

or a pharmaceutically acceptable salt thereof.

B

Serial No.: 09/903,101 Filed : July 11, 2001

Page 5

4. (Amended) A compound of formula (IV):

B

wherein:

X represents triflate or halo;

 R_1 and R_2 , which may be the same or different, are independently selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or alkoxy alkyl, or $(-CH_2NR_7R_8)$, wherein:

- i) R_7 and R_8 , which may be the same or different, are independently selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or lower alkoxy (C_1-C_8) alkyl; or
- ii) R_7 represents hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or lower alkoxy (C_1-C_8) alkyl, and R_8 represents $-COR_9$,

wherein:

 R_9 represents hydrogen, (C_1-C_8) alkyl, perhalo- (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, lower alkoxy, lower alkoxy (C_1-C_8) alkyl; or

Serial No.: 09/903,101 Filed : July 11, 2001

Page 6

iii) R_7 represents hydrogen or $(C_1\text{-}C_8)$ alkyl; and R_8 represents diphenyl-methyl or $-(CH_2)_tAr$

wherein:

t is 0 to 5 and Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

iv) R_7 and R_8 taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)

—N Y (IA)

wherein:

Y represents O, S, SO, SO₂, CH₂ or NR₁₀, wherein:

 R_{10} represents hydrogen, (C_1-C_8) alkyl, perhalo (C_1-C_8) alkyl, aryl, aryl substituted with one or more substituents selected from (C_1-C_8) alkyl, lower alkoxy, halogen, nitro, amino, (C_1-C_8) alkyl amino, perhalo- (C_1-C_8) alkyl, hydroxy (C_1-C_8) alkyl, lower alkoxy (C_1-C_8) alkyl groups or $-COR_{11}$,

wherein:

 R_{11} represents hydrogen, $(C_1\text{-}C_8)$ alkyl, perhalo- $(C_1\text{-}C_8)$ alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from $(C_1\text{-}C_8)$ alkyl, perhalo- $(C_1\text{-}C_8)$ alkyl, hydroxy $(C_1\text{-}C_8)$ alkyl, lower alkoxy $(C_1\text{-}C_8)$ alkyl groups;

R₃ represents –OCONR₁₂R₁₃,



Serial No.: 09/903,101 Filed : July 11, 2001

Page 7

wherein,

 R_{12} and R_{13} , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, or R_{12} and R_{13} together with the nitrogen atom to which they are bonded form a heterocyclic ring which may be interrupted with -O-, -S- and/or $-N-R_{14}$ in which R_{14} is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group;

 R_4 is selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or alkoxy alkyl;

 R_5 represents hydrogen or alkyl; and

R₆ represents hydrogen or alkyl,

or a pharmaceutically acceptable salt thereof.

Serial No.: 09/903,101 Filed : July 11, 2001

Page 8

5. (New) A compound of Formula (V):

$$R_3$$
 R_4
 R_1
 Z
 (V)

wherein:

 R_1 and R_2 is selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or alkoxy alkyl, or $(-CH_2NR_7R_8)$, wherein:

- i) R_7 and R_8 , which may be the same or different, are independently selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or lower alkoxy (C_1-C_8) alkyl; or
- ii) R_7 represents hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or lower alkoxy (C_1-C_8) alkyl, and R_8 represents $-COR_9$,

wherein:

 $R_9 \, represents \, hydrogen, (C_1-C_8) \, alkyl, perhalo-(C_1-C_8) \, alkyl, (C_{3-7}) cycloalkyl, \\ (C_{3-7}) \, cycloalkyl \, (C_1-C_8) \, alkyl, lower \, alkenyl, hydroxy \, (C_1-C_8) \, alkyl, lower \, alkoxy, lower \, alkoxy \, (C_1-C_8) \, alkyl; \, or$

iii) R_7 represents hydrogen or (C_1-C_8) alkyl; and R_8 represents diphenyl-methyl or $-(CH_2)_t$ Ar

wherein:

t is 0 to 5 and Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected

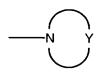


Serial No.: 09/903,101 Filed : July 11, 2001

Page 9

from hydroxy, methyl, halogen, and amino; or

iv) R_7 and R_8 taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



wherein:

(IA)

Y represents O, S, SO, SO₂, CH₂ or NR₁₀, wherein:

 R_{10} represents hydrogen, (C_1-C_8) alkyl, perhalo (C_1-C_8) alkyl, aryl, aryl substituted with one or more substituents selected from (C_1-C_8) alkyl, lower alkoxy, halogen, nitro, amino, (C_1-C_8) alkyl amino, perhalo- (C_1-C_8) alkyl, hydroxy (C_1-C_8) alkyl, lower alkoxy (C_1-C_8) alkyl groups or $-COR_{11}$,

wherein:

 R_{11} represents hydrogen, (C_1-C_8) alkyl, perhalo- (C_1-C_8) alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from (C_1-C_8) alkyl, perhalo- (C_1-C_8) alkyl, hydroxy (C_1-C_8) alkyl, lower alkoxy (C_1-C_8) alkyl groups;

 R_3 and R_4 are independently selected from hydrogen, (C_1-C_8) alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl (C_1-C_8) alkyl, lower alkenyl, hydroxy (C_1-C_8) alkyl, or alkoxy alkyl; or

 $R_{\rm 3}$ and $R_{\rm 4}$ taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)

R3 Cons.

Serial No.: 09/903,101
Filed : July 11, 2001

Page 10

$$(H_2C)_n = \begin{cases} \xi \\ \xi \end{cases}$$
 (IB)

wherein,

n represents the integer 1 or 2; or

 R_3 represents $-OCONR_{12}R_{13}$,

wherein,

 R_{12} and R_{13} , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, or R_{12} and R_{13} together with the nitrogen atom to which they are bonded form a heterocyclic ring which may be interrupted with -O-, -S- and/or $-N-R_{14}$ in which R_{14} is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group;

 R_5 represents hydrogen or alkyl; and R_6 represents hydrogen or alkyl, or a pharmaceutically acceptable salt thereof;

X represents triflate, chloro-, bromo-, or iodo-; and

Z represents chloro-, bromo-, iodo- or OR₁₅;

wherein R₁₅ represents hydrogen, triflate, mesylate or tosylate.

D3